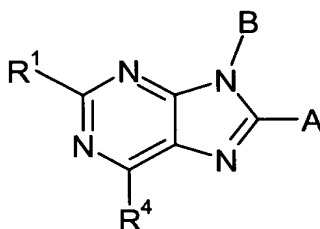


## CLAIMS

What is claimed is:

1. A compound of Formula (I)



(I)

wherein

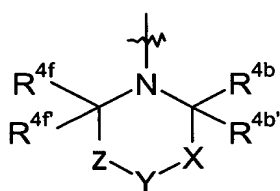
A is an optionally substituted aryl or an optionally substituted heteroaryl;

B is an optionally substituted aryl or an optionally substituted heteroaryl;

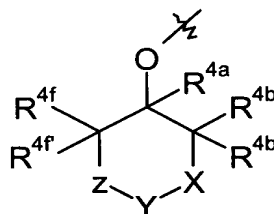
R¹ is hydrogen, (C₁-C₄)alkyl, halo-substituted (C₁-C₄)alkyl, or (C₁-C₄)alkoxy;

R⁴ is

- (i) a group having Formula (IA) or Formula (IB)



(IA)



(IB)

where R⁴ᵃ is hydrogen or (C₁-C₃)alkyl;

R⁴ᵇ and R⁴ᵇ' are each independently hydrogen, cyano, hydroxy, amino, H₂NC(O)-, or a chemical moiety selected from the group consisting of (C₁-C₆)alkyl, (C₁-C₆)alkoxy, acyloxy, acyl, (C₁-C₃)alkyl-O-C(O)-, (C₁-C₄)alkyl-NH-C(O)-, (C₁-C₄)alkyl)₂N-C(O)-, (C₁-C₆)alkylamino-, ((C₁-C₄)alkyl)₂amino-, (C₃-C₆)cycloalkylamino-, acylamino-, aryl(C₁-C₄)alkylamino-, heteroaryl(C₁-C₄)alkylamino-, aryl,

heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or either  $R^{4b}$  or  $R^{4b'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$

forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond,  $-\text{CH}_2\text{CH}_2-$ , or  $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$ , where  $\text{R}^{4c}$  and  $\text{R}^{4c'}$  are each independently hydrogen, cyano, hydroxy, amino,  $\text{H}_2\text{NC}(\text{O})-$ , or a chemical moiety selected from the group consisting of  $(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{alkoxy}$ , acyloxy, acyl,  $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$ ,  $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$ ,  $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$ ,  $(\text{C}_1-\text{C}_6)\text{alkylamino-}$ ,  $\text{di}(\text{C}_1-\text{C}_4)\text{alkylamino-}$ ,  $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$ , acylamino-, aryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$ , heteroaryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$ , aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or either  $\text{R}^{4c}$  or  $\text{R}^{4c'}$  taken together with  $\text{R}^{4e}$ ,  $\text{R}^{4e'}$ ,  $\text{R}^{4f}$ , or  $\text{R}^{4f'}$  forms a bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur,  $-\text{C}(\text{O})-$ ,  $-\text{C}(=\text{N-OH})-$ , or  $-\text{C}(\text{R}^{4d})(\text{R}^{4d'})-$ , where  $\text{R}^{4d}$  and  $\text{R}^{4d'}$  are each independently hydrogen, cyano, hydroxy, amino,  $\text{H}_2\text{NC}(\text{O})-$ , or a chemical moiety selected from the group consisting of  $(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{alkoxy}$ , acyloxy, acyl,  $(\text{C}_1-\text{C}_3)\text{alkyl-O-C}(\text{O})-$ ,  $(\text{C}_1-\text{C}_4)\text{alkyl-NH-C}(\text{O})-$ ,  $((\text{C}_1-\text{C}_4)\text{alkyl})_2\text{N-C}(\text{O})-$ ,  $\text{HO-NH-}$ ,  $(\text{C}_1-\text{C}_6)\text{alkylamino-}$ ,  $\text{di}(\text{C}_1-\text{C}_4)\text{alkylamino-}$ ,  $(\text{C}_3-\text{C}_6)\text{cycloalkylamino-}$ , acylamino-, aryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$ , heteroaryl $(\text{C}_1-\text{C}_4)\text{alkylamino-}$ , aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or  $\text{R}^{4d}$  and  $\text{R}^{4d'}$  taken together form a partially or fully saturated, 3- to 6-membered heterocyclic ring, a 5- or 6-membered lactone ring, or a 4- to 6-membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted and said

lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is  $-NR^{4d''}$ -, where  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted;

Z is a bond,  $-CH_2CH_2-$ , or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

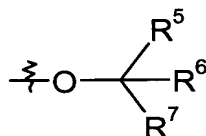
or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge; and

$R^{4f}$  and  $R^{4f'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or either  $R^{4f}$  or  $R^{4f'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

provided that when  $R^4$  is a group of Formula (IA), then (a) at least one of  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ ,  $R^{4c'}$ ,  $R^{4d}$ ,  $R^{4d'}$ ,  $R^{4d''}$ ,  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$  and  $R^{4f'}$  is other than hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, or halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl; and (b) Y is not oxygen, sulfur or -NH-, when X and Z are a bond, -CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>-, and  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4f}$  and  $R^{4f'}$  are hydrogen; or

(ii) a group having Formula (IC)



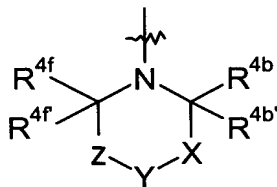
(IC)

where  $R^5$  and  $R^6$  are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and  $R^7$  is (C<sub>1</sub>-C<sub>4</sub>)alkyl-, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkoxy(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, or a partially or fully saturated 4- to 6-membered heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

or  $R^5$  and  $R^6$  or  $R^5$  and  $R^7$  taken together form a 5- or 6-membered lactone, 4- to 6-membered lactam, or a partially or fully saturated 4- to 6-membered heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

2. The compound of Claim 1 wherein  $R^4$  is a group having Formula (IA)



(IA)

where,

$R^{4b}$  and  $R^{4b'}$  are each independently hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or  $R^{4b}$  or  $R^{4b'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

10 X is a bond,  $-CH_2CH_2-$  or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl) $_2$ N-C(O)-,  $(C_1-C_6)$ alkylamino-,  $((C_1-C_4)$ alkyl) $_2$ amino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl( $C_1-$   
15  $C_4$ )alkylamino-, heteroaryl( $C_1-C_4$ )alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or  $R^{4c}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge, and

$R^{4c'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-  
25 membered carbocyclic ring, where said moiety is optionally substituted,

or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur,  $-C(O)-$ , or  $-C(R^{4d})(R^{4d'})-$ , where  $R^{4d}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl) $_2$ N-C(O)-,  $(C_1-C_6)$ alkylamino-,  
30

((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted, and

R<sup>4d</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a partially or fully saturated 3- to 6-membered heterocyclic ring, a 5- or 6-membered lactone ring, or a 4- to 6-membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted;

Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>-, or -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4e</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge, and

R<sup>4e'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-

membered carbocyclic ring, where said moiety is optionally substituted, or R<sup>4e'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge; and

R<sup>4f</sup> and R<sup>4f'</sup> are each independently hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4f</sup> or R<sup>4f'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

3. The compound of Claim of 2 wherein

A and B are each independently a substituted phenyl;

R<sup>4b</sup> is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

R<sup>4b'</sup> is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

$R^{4f}$  is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge; and

$R^{4f}$  is hydrogen, an optionally substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl, or taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

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4. The compound of Claim 3 wherein

X is  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each independently hydrogen,  $H_2NC(O)-$ , an optionally substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-,

or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge or an ethylene bridge;

Y is  $-NR^{4d''}-$ ,  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted;

Z is  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen,  $H_2NC(O)-$ , an optionally substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, or ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-,

or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4c}$ , or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

5. The compound of Claim 4 wherein  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl,

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(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, and heteroaryl, where said moiety is optionally substituted;

5 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

6. The compound of Claim 5 wherein R<sup>4d</sup> is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl, acyl, and (C<sub>1</sub>-  
10 C<sub>6</sub>)alkyl-O-C(O)-, where said moiety is optionally substituted with 1-3 fluorines,

or R<sup>4d</sup> is a heteroaryl, where said heteroaryl is optionally substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>3</sub>)alkoxy, (C<sub>1</sub>-C<sub>3</sub>)alkyl, and fluoro-substituted (C<sub>1</sub>-C<sub>3</sub>)alkyl;

15 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

7. The compound of Claim 4, 5 or 6 wherein A and B are each  
20 independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or  
25 said prodrug.

8. The compound of Claim 7 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-  
30 C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

5           9.     The compound of Claim 8 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl;

10           a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

10.     The compound of Claim 9 selected from the group consisting of  
15           9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-6-(4-pyridin-2-ylpiperazin-1-yl)-9H-purine;  
            9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-6-(4-pyrimidin-2-ylpiperazin-1-yl)-9H-purine; and  
            4-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-piperazine-2-  
20     carboxylic acid methylamide;

            a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

11.     The compound of Claim 3 wherein Y is  $-C(R^{4d})(R^{4d'})-$ , where  
25      $R^{4d}$  is hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl)<sub>2</sub>N-C(O)-,  $(C_1-C_6)$ alkylamino-,  $((C_1-C_4)$ alkyl)<sub>2</sub>amino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl $(C_1-C_4)$ alkylamino-, heteroaryl $(C_1-C_4)$ alkylamino-, aryl,  
30     heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a

partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

$R^{4d'}$  is hydrogen,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl) $_2$ N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or  $R^{4d}$  and  $R^{4d'}$  taken together form a partially or fully saturated, 3- to 6-membered heterocyclic ring, a 5- or 6-membered lactone ring, or a 4- to 6-membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

12. The compound of Claim 11 wherein

$R^{4b}$ ,  $R^{4b'}$ ,  $R^{4f}$ , and  $R^{4f'}$  are all hydrogen;

$R^{4d}$  is amino,  $(C_1-C_6)$ alkylamino, di $(C_1-C_4)$ alkylamino,  $(C_3-C_6)$ cycloalkylamino, acylamino, aryl $(C_1-C_4)$ alkylamino-, heteroaryl $(C_1-C_4)$ alkylamino-; and

$R^{4d'}$  is  $(C_1-C_6)$ alkyl,  $H_2NC(O)-$ ,  $(C_1-C_4)$ alkyl-NH-C(O)-, or  $((C_1-C_4)alkyl)_2N-C(O)-$ , or aryl;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

13. The compound of Claim 12 wherein

X is a bond or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each hydrogen; and

Z is a bond or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each hydrogen; a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

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14. The compound of Claim 13 wherein  $R^{4d}$  is amino,  $(C_1-C_6)$ alkylamino, di $(C_1-C_4)$ alkylamino,  $(C_3-C_6)$ cycloalkylamino; and  $R^{4d'}$  is  $H_2NC(O)-$ ,  $(C_1-C_4)$ alkyl-NH-C(O)-, or  $((C_1-C_4)alkyl)_2N-C(O)-$ ; a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

10

15. The compound of Claim 11, 12, 13 or 14 wherein A and B are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo,  $(C_1-C_4)$ alkoxy,  $(C_1-C_4)$ alkyl, halo-substituted  $(C_1-C_4)$ alkyl, and cyano; a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

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16. The compound of Claim 15 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro,  $(C_1-C_4)$ alkoxy,  $(C_1-C_4)$ alkyl, fluoro-substituted  $(C_1-C_4)$ alkyl, and cyano; a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

25

17. The compound of Claim 16 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-

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fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or  
5 said prodrug.

18. The compound of Claim 17 selected from the group consisting of

- 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-3-ethylaminoazetidine-3-carboxylic acid amide;  
10 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-3-isopropylaminoazetidine-3-carboxylic acid amide;  
1-[9-(4-chlorophenyl)-8-(2-fluorophenyl)-9H-purin-6-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;  
15 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-propylaminopiperidine-4-carboxylic acid amide;  
1-[9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-9H-purin-6-yl]-4-propylaminopiperidine-4-carboxylic acid amide;  
1-[9-(4-chlorophenyl)-8-(2-fluorophenyl)-9H-purin-6-yl]-4-  
20 propylaminopiperidine-4-carboxylic acid amide;  
1-[9-(4-chlorophenyl)-8-(2-fluorophenyl)-2-methyl-9H-purin-6-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;  
1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-pyrrolidin-1-yl-piperidine-4-carboxylic acid amide;  
25 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-ethylaminopiperidine-4-carboxylic acid amide;  
1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;  
4-amino-1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-  
30 piperidine-4-carboxylic acid amide; and

1-[9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-9H-purin-6-yl]-4-methylaminopiperidine-4-carboxylic acid amide;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

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19. The compound of Claim 18 selected from the group consisting of

1-[9-(4-chlorophenyl)-8-(2-fluorophenyl)-9H-purin-6-yl]-4-isopropylamino-piperidine-4-carboxylic acid amide;

10 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-isopropylaminopiperidine-4-carboxylic acid amide;

4-amino-1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-piperidine-4-carboxylic acid amide; and

15 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-ethylamino-piperidine-4-carboxylic acid amide;

a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

20. The compound of Claim 11 wherein

20  $R^{4b}$ ,  $R^{4b'}$ ,  $R^{4f}$ , and  $R^{4f'}$  are all hydrogen;

$R^{4d}$  is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, and di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, where said moiety is optionally substituted; and

25  $R^{4d'}$  is hydrogen, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and heteroaryl, where said moiety is optionally substituted;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or  
30 said prodrug.

21. The compound of Claim 20 wherein

X is  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each independently hydrogen or an optionally substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl, or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$  or  $R^{4e'}$  forms a bond, a methylene bridge or an ethylene bridge; and

Z is  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each independently hydrogen or an optionally substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl, or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4c}$  or  $R^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

10 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

22. The compound of Claim 21 wherein

15  $R^{4c}$  and  $R^{4c'}$  are each hydrogen or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$  or  $R^{4e'}$  forms a bond;

$R^{4d}$  is hydrogen, hydroxy, amino, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, and di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-;

20  $R^{4d'}$  is hydrogen, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl, where said moiety is optionally substituted; and

$R^{4e}$  and  $R^{4e'}$  are hydrogen or either  $R^{4e}$  or  $R^{4e'}$  taken together with  $R^{4c}$  or  $R^{4c'}$  forms a bond;

25 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

23. The compound of Claim 20, 21, or 22 wherein A and B are

30 each independently a phenyl substituted with 1 to 3 substituents

independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

24. The compound of Claim 23 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl), and cyano;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

15 25. The compound of Claim 24 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl;

20 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

26. The compound of Claim 25 selected from the group consisting of

25 1-{1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-phenylpiperidin-4-yl}-ethanone;

[3-[9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-9H-purin-6-yl]-3-(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-azabicyclo[3.1.0]hex-6-yl]-dimethylamine;

30 1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-(4-fluorophenyl)-piperidin-4-ol;



1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-4-phenylpiperidin-4-ol; and

4-benzyl-1-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-piperidin-4-ol;

5 a pharmaceutically acceptable salt thereof, or a solvate or hydrate of said compound or said salt.

27. The compound of Claim 11 wherein

$R^{4b}$ ,  $R^{4b'}$ ,  $R^{4f}$ , and  $R^{4f'}$  are all hydrogen; and

10  $R^{4d}$  and  $R^{4d'}$  taken together form a partially or fully saturated 3- to 6-membered heterocyclic ring, a 5- or 6-membered lactone ring, or a 4- to 6-membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted and said lactone ring or said lactam ring optionally contains an additional heteroatom selected from  
15 oxygen, nitrogen or sulfur;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

20 28. The compound of Claim 27 wherein

X is a bond,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{C}(\text{R}^{4c})(\text{R}^{4c'})-$ , where  $\text{R}^{4c}$  and  $\text{R}^{4c'}$  are each independently hydrogen or an optionally substituted ( $\text{C}_1$ - $\text{C}_6$ )alkyl, or either  $\text{R}^{4c}$  or  $\text{R}^{4c'}$  taken together with  $\text{R}^{4e}$  or  $\text{R}^{4e'}$  forms a bond, a methylene bridge or an ethylene bridge; and

25 Z is a bond,  $-\text{CH}_2\text{CH}_2-$  or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  and  $\text{R}^{4e'}$  are each independently hydrogen or an optionally substituted ( $\text{C}_1$ - $\text{C}_6$ )alkyl, or either  $\text{R}^{4e}$  or  $\text{R}^{4e'}$  taken together with  $\text{R}^{4c}$  or  $\text{R}^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge;

a pharmaceutically acceptable salt thereof, a prodrug of said  
30 compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

29. The compound of Claim 27 wherein  $R^{4d}$  and  $R^{4d'}$  taken together form a 5 or 6 membered lactam ring, where said lactam ring is optionally substituted and optionally contains an additional heteroatom selected from nitrogen or oxygen;  
a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.
30. The compound of Claim 29 wherein  
X is a bond or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each hydrogen;  
and  
Z is a bond or  $-C(R^{4e})(R^{4e'})-$ , where  $R^{4e}$  and  $R^{4e'}$  are each hydrogen;  
a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.
31. The compound of Claim 27, 28, 29 or 30 wherein A and B are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo,  $(C_1-C_4)$ alkoxy,  $(C_1-C_4)$ alkyl, halo-substituted  $(C_1-C_4)$ alkyl, and cyano;  
a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.
32. The compound of Claim 31 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro,  $(C_1-C_4)$ alkoxy,  $(C_1-C_4)$ alkyl, fluoro-substituted  $(C_1-C_4)$ alkyl, and cyano;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

5           33.    The compound of Claim 32 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl;

              a pharmaceutically acceptable salt thereof, a prodrug of said  
10   compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

              34.    The compound of Claim 30 selected from the group consisting of

15           8-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one;

              8-[9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-9H-purin-6-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one; and

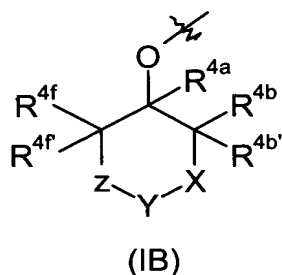
20           9-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-1-methyl-4-oxa-1,9-diazaspiro[5.5]undecan-2-one;

              a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

25           35.    The compound of Claim 34 which is 8-[9-(4-chlorophenyl)-8-(2-chlorophenyl)-9H-purin-6-yl]-1-isopropyl-1,3,8-triazaspiro[4.5]decan-4-one;

              a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

30           36.    The compound of Claim 1 wherein R<sup>4</sup> is a group of Formula (IB)



where R<sup>4a</sup> is as defined in Claim 1;

R<sup>4b</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

R<sup>4b'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4b</sup> or R<sup>4b'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4c</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge, and

R<sup>4c'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4c'</sup> taken together with R<sup>4e</sup>, R<sup>4e'</sup>, R<sup>4f</sup>, or R<sup>4f'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

Y is oxygen, sulfur, -C(O)-, or -C(R<sup>4d</sup>)(R<sup>4d'</sup>)-, where R<sup>4d</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted, and

R<sup>4d'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4d</sup> and R<sup>4d'</sup> taken together form a partially or fully saturated 3- to 6-membered heterocyclic ring, a 5- or 6-membered lactone ring, or a 4- to 6-membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur;

Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-

C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted;

Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>-, or -C(R<sup>4e</sup>)(R<sup>4e'</sup>)-, where R<sup>4e</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4e</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge, and

R<sup>4e'</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or R<sup>4e'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

R<sup>4f</sup> is hydrogen, cyano, hydroxy, amino, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>amino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted; and

R<sup>4f</sup> is hydrogen, H<sub>2</sub>NC(O)-, or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-

C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

5 or R<sup>4f</sup> or R<sup>4f'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup> forms a bond, a methylene bridge, or an ethylene bridge;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

10 37. The compound of Claim 36 wherein

A and B are each independently a substituted phenyl;

R<sup>4a</sup>, R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4f</sup> and R<sup>4f'</sup> are each hydrogen;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or  
15 said prodrug.

38. The compound of Claim 37 wherein

X is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

20 Y is -NR<sup>4d''</sup>-, where R<sup>4d''</sup> is hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted;

25 Z is a bond, -CH<sub>2</sub>CH<sub>2</sub>- or -C(R<sup>4c</sup>)(R<sup>4c'</sup>)-, where R<sup>4c</sup> and R<sup>4c'</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

30

39. The compound of Claim 37 or 38 wherein A and B are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

5 a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

40. The compound of Claim 39 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or  
15 said prodrug.

41. The compound of Claim 40 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

25 42. The compound of Claim 41 selected from the group consisting of

6-(1-benzylpyrrolidin-3-yloxy)-9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-9H-purine; and

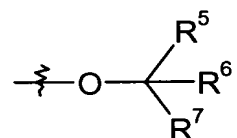
9-(4-chlorophenyl)-6-(1-cyclohexylazetidin-3-yloxy)-8-(2,4-dichlorophenyl)-9H-purine;

30



a pharmaceutically acceptable salt thereof or a solvate or hydrate of said compound or said salt.

43. The compound of Claim 1 wherein R<sup>4</sup> is a group having  
5 Formula (IC)



(IC)

where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl-, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkoxy(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-  
10 C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, or a partially or fully saturated 4- to 6-membered heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, or R<sup>5</sup> and R<sup>6</sup>, or R<sup>5</sup> and R<sup>7</sup> taken together form a 5- or 6-membered lactone, 4- to 6-membered lactam, or a partially or fully saturated 4- to 6-  
15 membered heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or  
20 said prodrug.

44. The compound of Claim 43 wherein A and B are each independently a substituted phenyl;

a pharmaceutically acceptable salt thereof, a prodrug of said  
25 compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

45. The compound of Claim 44 wherein R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

5           46.    The compound of Claim 44 or 45 wherein A and B are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

10               a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

15           47.    The compound of Claim 46 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

20               a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

25           48.    The compound of Claim 47 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl;

              a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

30           49.    The compound of Claim 48 selected from the group consisting of

6-tert-butoxy-9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-9H-purine;  
and  
9-(4-chlorophenyl)-8-(2,4-dichlorophenyl)-6-isopropoxy-9H-purine;  
a pharmaceutically acceptable salt thereof or a solvate or hydrate of  
5 said compound or said salt.

50. A pharmaceutical composition comprising (1) a compound of  
Claim 1, a prodrug of said compound, a pharmaceutically acceptable salt of  
said compound or said prodrug, or a solvate or hydrate of said compound,  
10 said prodrug, or said salt; and (2) a pharmaceutically acceptable excipient,  
diluent, or carrier.

51. The composition of Claim 50 further comprising at least one  
additional pharmaceutical agent.

15

52. The composition of Claim 51 wherein said additional  
pharmaceutical agent is a nicotine receptor partial agonist, an opioid  
antagonist, a dopaminergic agent, an attention deficit disorder agent, or an  
anti-obesity agent.

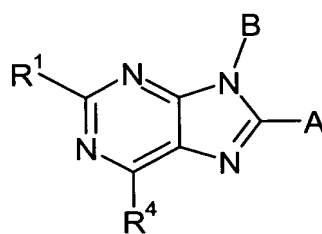
20

53. The composition of Claim 52 wherein said anti-obesity agent is  
selected from the group consisting of an apo-B/MTP inhibitor, an 11 $\beta$ -  
hydroxy steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog  
thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor,  
25 a sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine  
agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT<sub>2c</sub>  
receptor agonist, a melanin concentrating hormone antagonist, leptin, a  
leptin analog, a leptin receptor agonist, a galanin receptor antagonist, a  
lipase inhibitor, a bombesin receptor agonist, a neuropeptide-Y receptor  
30 antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof,  
a glucocorticoid receptor antagonist, an orexin receptor antagonist, a

glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

5

54. A method for treating a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment a therapeutically effective amount of a compound of Formula (II)



10

(II)

wherein

A is an optionally substituted aryl or an optionally substituted heteroaryl;

15

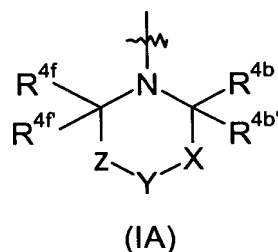
B is an optionally substituted aryl or an optionally substituted heteroaryl;

R<sup>1</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

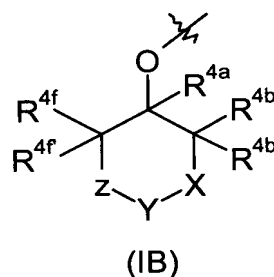
R<sup>4</sup> is

20

(i) a group having Formula (IA) or Formula (IB)



(IA)



(IB)

where R<sup>4a</sup> is hydrogen or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

$R^{4b}$  and  $R^{4b'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $(C_1-C_4)$ alkyl) $_2$ N-C(O)-,  $(C_1-$   
 5  $C_6)$ alkylamino-,  $((C_1-C_4)$ alkyl) $_2$ amino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl $(C_1-C_4)$ alkylamino-, heteroaryl $(C_1-C_4)$ alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

10 or either  $R^{4b}$  or  $R^{4b'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge, or an ethylene bridge;

X is a bond,  $-CH_2CH_2-$  or  $-C(R^{4c})(R^{4c'})-$ , where  $R^{4c}$  and  $R^{4c'}$  are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $((C_1-C_4)$ alkyl) $_2$ N-C(O)-,  $(C_1-C_6)$ alkylamino-, di $(C_1-$   
 15  $C_4)$ alkylamino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl $(C_1-C_4)$ alkylamino-, heteroaryl $(C_1-C_4)$ alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where  
 20 said moiety is optionally substituted,

or either  $R^{4c}$  or  $R^{4c'}$  taken together with  $R^{4e}$ ,  $R^{4e'}$ ,  $R^{4f}$ , or  $R^{4f'}$  forms a bond, a methylene bridge or an ethylene bridge;

Y is oxygen, sulfur,  $-C(O)-$ , or  $-C(R^{4d})(R^{4d'})-$ , where  $R^{4d}$  and  $R^{4d'}$   
 25 are each independently hydrogen, cyano, hydroxy, amino,  $H_2NC(O)-$ , or a chemical moiety selected from the group consisting of  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, acyloxy, acyl,  $(C_1-C_3)$ alkyl-O-C(O)-,  $(C_1-C_4)$ alkyl-NH-C(O)-,  $((C_1-C_4)$ alkyl) $_2$ N-C(O)-,  $(C_1-C_6)$ alkylamino-, di $(C_1-C_4)$ alkylamino-,  $(C_3-C_6)$ cycloalkylamino-, acylamino-, aryl $(C_1-$   
 30  $C_4)$ alkylamino-, heteroaryl $(C_1-C_4)$ alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a

partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or  $R^{4d}$  and  $R^{4d'}$  taken together form a partially or fully saturated, 3- to 6-membered heterocyclic ring, a 5- or 6-membered lactone ring, or a 4- to 6-membered lactam ring, where said heterocyclic ring, said lactone ring and said lactam ring are optionally substituted and said lactone ring and said lactam ring optionally contain an additional heteroatom selected from oxygen, nitrogen or sulfur, or

Y is  $-NR^{4d''}$ , where  $R^{4d''}$  is a hydrogen or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl-, (C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, di(C<sub>1</sub>-C<sub>3</sub>)alkylaminosulfonyl-, acyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-C(O)-, aryl, and heteroaryl, where said moiety is optionally substituted;

Z is a bond,  $-\text{CH}_2\text{CH}_2-$ , or  $-\text{C}(\text{R}^{4e})(\text{R}^{4e'})-$ , where  $\text{R}^{4e}$  and  $\text{R}^{4e'}$  are each independently hydrogen, cyano, hydroxy, amino,  $\text{H}_2\text{NC}(\text{O})-$ , or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl, heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or either  $\text{R}^{4e}$  or  $\text{R}^{4e'}$  taken together with  $\text{R}^{4b}$ ,  $\text{R}^{4b'}$ ,  $\text{R}^{4c}$ , or  $\text{R}^{4c'}$  forms a bond, a methylene bridge or an ethylene bridge; and

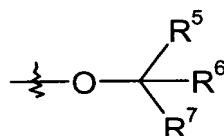
$\text{R}^{4f}$  and  $\text{R}^{4f'}$  are each independently hydrogen, cyano, hydroxy, amino,  $\text{H}_2\text{NC}(\text{O})-$ , or a chemical moiety selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, acyloxy, acyl, (C<sub>1</sub>-C<sub>3</sub>)alkyl-O-C(O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-NH-C(O)-, ((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>N-C(O)-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, (C<sub>3</sub>-C<sub>6</sub>)cycloalkylamino-, acylamino-, aryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, heteroaryl(C<sub>1</sub>-C<sub>4</sub>)alkylamino-, aryl,

heteroaryl, a partially or fully saturated 3- to 6-membered heterocycle, and a partially or fully saturated 3- to 8-membered carbocyclic ring, where said moiety is optionally substituted,

or either R<sup>4f</sup> or R<sup>4f'</sup> taken together with R<sup>4b</sup>, R<sup>4b'</sup>, R<sup>4c</sup>, or R<sup>4c'</sup>

5 forms a bond, a methylene bridge or an ethylene bridge; or

(ii) a group having Formula (IC)



(IC)

where R<sup>5</sup> and R<sup>6</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and R<sup>7</sup> is  
 10 (C<sub>1</sub>-C<sub>4</sub>)alkyl-, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkoxy(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, di(C<sub>1</sub>-C<sub>4</sub>)alkylamino(C<sub>1</sub>-C<sub>4</sub>)alkyl-, or a partially or fully saturated 4- to 6-membered heterocyclic ring containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen,

or R<sup>5</sup> and R<sup>6</sup> or R<sup>5</sup> and R<sup>7</sup> taken together form a 5- or 6-membered  
 15 lactone, 4- to 6-membered lactam, or a partially or fully saturated 4- to 6-membered heterocycle containing 1 to 2 heteroatoms independently selected from oxygen, sulfur or nitrogen, where said lactone, said lactam and said heterocycle are optionally substituted;

(iii) an amino group substituted with one or more substituents  
 20 independently selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, a partially or fully saturated (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>3</sub>)alkyl, and a fully or partially saturated heterocycle; or

(iv) an (C<sub>1</sub>-C<sub>6</sub>)alkyl group substituted with one or more substituents  
 25 independently selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino (C<sub>1</sub>-C<sub>3</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>3</sub>)alkylsulfamyl, di((C<sub>1</sub>-C<sub>3</sub>)alkyl)sulfamyl, acyloxy, a fully or partially saturated heterocycle, and a fully or partially saturated cycloalkyl;

a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

5           55.    The method of Claim 54 wherein said compound of Formula (II) is a compound of Claim 1, a pharmaceutically acceptable salt thereof, a prodrug of said compound or said salt, or a solvate or hydrate of said compound, said salt or said prodrug.

10           56.    The method of Claim 54 wherein said compound of Formula (II) is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

15           57.    The method of Claim 55 wherein said compound of Formula (II) is administered in combination with a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

20           58.    The method of Claim 56 or 57 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, an 11 $\beta$ -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor, a sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine  
25 agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT<sub>2c</sub> receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin receptor antagonist, a lipase inhibitor, a bombesin receptor agonist, a neuropeptide-Y receptor antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof,  
30 a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a



human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U receptor agonist.

5           59.    The method of Claim 54, 55, 56 or 57 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is selected from the group consisting of eating disorders, weight loss, obesity, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related  
10 behaviors, substance abuse, addictive disorders, impulsivity, alcoholism, tobacco abuse, dementia, sexual dysfunction in males, seizure disorders, epilepsy, gastrointestinal disorders, attention deficit disorders, Parkinson's disease, and type II diabetes.

15           60.    The method of Claim 59 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, alcoholism, tobacco abuse, or attention deficit disorder.

            61.    A method for treating a disease, condition or disorder  
20 modulated by a cannabinoid receptor antagonist comprising the step of administering a pharmaceutical composition of Claim 50.

            62.    The method of Claim 61 wherein said pharmaceutical composition further comprises an additional pharmaceutical agent.

25

            63.    The method of Claim 62 wherein said additional pharmaceutical agent is a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

30

64. The method of Claim 63 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, an 11 $\beta$ -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor,  
5 a sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT<sub>2c</sub> receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin receptor antagonist, a lipase inhibitor, a bombesin receptor agonist, a neuropeptide-Y receptor antagonist,  
10 a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U  
15 receptor agonist.

65. The method of Claim 61, 62, 63 or 64 wherein said disease, condition or disorder modulated by a cannabinoid receptor antagonist is obesity, bulimia, alcoholism, attention deficit disorder, or tobacco abuse.  
20

66. A method for treating a disease, condition or disorder modulated by a cannabinoid receptor antagonist in animals comprising the step of administering to an animal in need of such treatment two separate pharmaceutical compositions comprising  
25 (i) a first composition comprising a compound of Claim 1 and a pharmaceutically acceptable excipient, diluent, or carrier, and  
(ii) a second composition comprising at least one additional pharmaceutical agent and a pharmaceutically acceptable  
30 excipient, diluent, or carrier.

67. The method of Claim 66 wherein said at least one additional pharmaceutical agent is a nicotine receptor partial agonist, an opioid antagonist, a dopaminergic agent, an attention deficit disorder agent, or an anti-obesity agent.

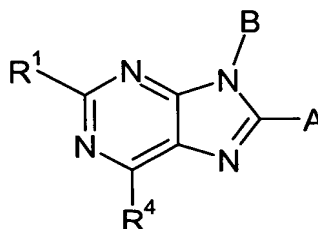
5

68. The method of Claim 67 wherein said anti-obesity agent is selected from the group consisting of an apo-B/MTP inhibitor, an 11 $\beta$ -hydroxy steroid dehydrogenase-1 inhibitor, peptide YY<sub>3-36</sub> or an analog thereof, a MCR-4 agonist, a CCK-A agonist, a monoamine reuptake inhibitor,  
10 a sympathomimetic agent, a  $\beta_3$  adrenergic receptor agonist, a dopamine agonist, a melanocyte-stimulating hormone receptor analog, a 5-HT<sub>2c</sub> receptor agonist, a melanin concentrating hormone antagonist, leptin, a leptin analog, a leptin receptor agonist, a galanin receptor antagonist, a lipase inhibitor, a bombesin receptor agonist, a neuropeptide-Y receptor  
15 antagonist, a thyromimetic agent, dehydroepiandrosterone or analog thereof, a glucocorticoid receptor antagonist, an orexin receptor antagonist, a glucagon-like peptide-1 receptor agonist, a ciliary neurotrophic factor, a human agouti-related protein antagonist, a ghrelin receptor antagonist, a histamine 3 receptor antagonist or inverse agonist, and a neuromedin U  
20 receptor agonist.

69. The method of Claim 67 or 68 wherein said first composition and said second composition are administered simultaneously.

25 70. The method of Claim 67 or 68 wherein said first composition and said second composition are administered sequentially and in any order.

71. A compound of Formula (1c/d)



(1c/d)

wherein

5           A and B are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano;

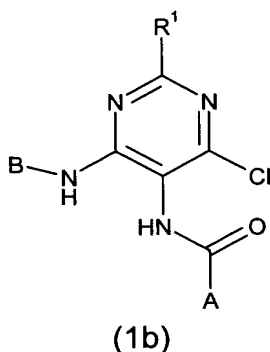
          R<sup>1</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or (C<sub>1</sub>-C<sub>4</sub>)alkoxy; and

10           R<sup>4</sup> is hydroxy or halo.

72.       The compound of Claim 71 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl), and cyano.

73.       The compound of Claim 72 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl.

74. A compound of Formula (1b)



5 wherein

A and B are each independently a phenyl substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyano; and

R<sup>1</sup> is hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, halo-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl, or (C<sub>1</sub>-C<sub>4</sub>)alkoxy.

10

75. The compound of Claim 74 wherein A and B are each independently a phenyl substituted with 1 to 2 substituents independently selected from the group consisting of chloro, fluoro, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkyl, fluoro-substituted (C<sub>1</sub>-C<sub>4</sub>)alkyl), and cyano.

15

76. The compound of Claim 75 wherein A is 2-chlorophenyl, 2-fluorophenyl, 2,4-dichlorophenyl, 2-fluoro-4-chlorophenyl, 2-chloro-4-fluorophenyl, or 2,4-difluorophenyl; and B is 4-chlorophenyl or 4-fluorophenyl.

20

25